

19/09/2007,10541108III.trn

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|              |   |  |  |
|--------------|---|--|--|
| NEWS         | 1   |  | Web Page for STN Seminar Schedule - N. America   |
| NEWS         | 2   | JUL 02   | LMEDLINE coverage updated  |
| NEWS         | 3   | JUL 02   | SCISEARCH enhanced with complete author names  |
| NEWS         | 4   | JUL 02   | CHEMCATS accession numbers revised   |
| NEWS         | 5   | JUL 02   | CA/CAPplus enhanced with utility model patents from China  |
| NEWS         | 6   | JUL 16   | Caplus enhanced with French and German abstracts   |
| NEWS         | 7   | JUL 18   | CA/CAPplus patent coverage enhanced  |
| NEWS         | 8   | JUL 26   | USPATFULL/USPAT2 enhanced with IPC reclassification  |
| NEWS         | 9   | JUL 30   | USGENE now available on STN  |
| NEWS         | 10  | AUG 06   | CAS REGISTRY enhanced with new experimental property tags  |
| NEWS         | 11  | AUG 06   | BEILSTEIN updated with new compounds   |
| NEWS         | 12  | AUG 06   | FSTA enhanced with new thesaurus edition   |
| NEWS         | 13  | AUG 13   | CA/CAPplus enhanced with additional kind codes for granted patents                               |
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| NEWS         | 18  | SEP 07   | STN AnaVist, Version 2.0, now available with Derwent World Patents Index                         |
| NEWS         | 19  | SEP 13   | FORIS renamed to SOFIS   |
| NEWS         | 20  | SEP 13   | INPADOCDB enhanced with monthly SDI frequency  |
| NEWS         | 21  | SEP 17   | CA/CAPplus enhanced with printed CA page images from 1967-1998                                   |
| NEWS         | 22  | SEP 17   | Caplus coverage extended to include traditional medicine patents                                 |
|              |   |  |  |
| NEWS EXPRESS | 05  | SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 SEPTEMBER 2007. |  |
|              |   |  |  |
| NEWS HOURS   | STN Operating Hours Plus Help Desk Availability               |  |  |
| NEWS LOGIN   | Welcome Banner and News Items                                 |  |  |
| NEWS IPC8    | For general information regarding STN implementation of IPC 8 |  |  |

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:50:39 ON 19 SEP 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:50:50 ON 19 SEP 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

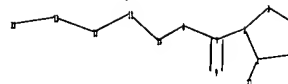
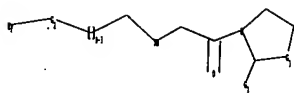
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10541108III.str



chain nodes :

7 8 9 10 11 12 13 16 17 21 22 23 24 25 26 27 28

ring nodes :

1 2 3 4 5

chain bonds :

1-21 2-7 7-8 7-9 8-10 10-11 11-12 12-13 13-22 16-17 23-24 24-25 26-27  
26-28

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-21 2-3 2-7 3-4 4-5 7-8 7-9 8-10 10-11 11-12 12-13 13-22  
16-17 23-24 24-25 26-27 26-28

G1:S,CH2

G2:[\*1],[\*2],[\*3]

G3:H,CN

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 21:CLASS 22:Atom 23:CLASS  
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

Generic attributes :

22:

Type of Ring System : Polycyclic

L1 STRUCTURE UPLOADED

19/09/2007,10541108III.trn

=> d 11

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:51:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 25581 TO ITERATE

7.8% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 502051 TO 521189

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 10:51:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 512103 TO ITERATE

95.8% PROCESSED 490608 ITERATIONS 63 ANSWERS

100.0% PROCESSED 512103 ITERATIONS 63 ANSWERS

SEARCH TIME: 00.00.25

L3 63 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL  
ENTRY SESSION

FULL ESTIMATED COST

172.55 172.76

FILE 'HCAPLUS' ENTERED AT 10:51:50 ON 19 SEP 2007

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FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13

FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

19/09/2007,10541108III.trn

New CAS Information Use Policies, enter HELP USAGETERMS for details.

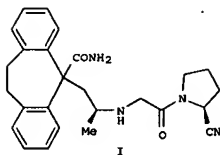
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4            6 L3

=> d ed abs ibib hitstr tot

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ED Entered STN: 02 Nov 2006  
 GI



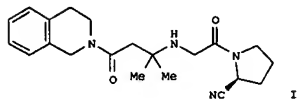
AB The invention relates generally to pyrrolidine and thiazolidine DPP-IV inhibitory compds. A-B-CO-D (A is a bicyclic or tricyclic ring system attached to B at carbon or nitrogen; B is a linking group such as an amino acid residue or fragment; D is a pyrrolidine or thiazolidine residue or derivative), including isomers and pharmaceutically-acceptable salts, for treatment of DPP-IV mediated diseases, in particular, type-2 diabetes. Thus, pyrrolidinecarboxitrile derivative I was prepared by reaction of 5-[(9S)-2-aminopropyl]-10,11-dihydro-5H-dibenz[a,d]cycloheptene-5-carboxamide with N-glyoxyloxy-L-prolinecarboxitrile (preps. given) and showed  $K_i < 6$  nM for inhibition of DPP-IV.

ACCESSION NUMBER: 2006:1147258 HCAPLUS  
 DOCUMENT NUMBER: 145:471864  
 TITLE: Preparation of multicyclic peptide derivatives as dipeptidyl peptidase-IV inhibitors  
 INVENTOR(S): Kroth, Heiko; Feuerstein, Tim; Richter, Frank; Boer, Jurgens; Essers, Michael; Nolte, Bert; Schneider, Matthias; Hochguertel, Matthias; Frickel, Fritz-Frieder; Taveras, Arthur  
 PATENT ASSIGNEE(S): Alantosa Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 542pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2006116157 | A2   | 20061102 | WO 2006-US15200 | 20060421 |
| WO 2006116157 | A9   | 20070301 |                 |          |
| WO 2006116157 | A3   | 20070419 |                 |          |

W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MW, MX, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ED Entered STN: 09 Dec 2005  
 GI



AB N-cyanopyrrolidinylcarbonylmethyl amino acid amides such as nonracemic N-cyanopyrrolidinylcarbonylmethyl aminomethylbutanoylisoquinoline I are prepared as dipeptidyl peptidase IV (DPP-IV) inhibitors selective for

DPP-IV over the related enzymes DPP-8 and DPP-10 for use as potential antidiabetic drugs; the in vitro and in vivo activity of I is determined. Boc-protected amino acids are coupled to amines, amine deprotection and alkylation with 1-(bromomethyl)-2-(2S)-pyrrolidinecarboxitrile provides the title compds. The DPP-IV-inhibiting structure-activity relationship for

a variety of N-substituted aminoacylpyrrolidinecarboxitriles is determined. I suppresses blood glucose elevation after an oral glucose challenge in Wistar rats and also inhibits plasma DPP-IV activity for up to 4 h in BALB/c mice; the in vitro and in vivo activities of I are comparable to those of the antidiabetic agent NVP-LAF237.

ACCESSION NUMBER: 2005:1286271 HCAPLUS  
 DOCUMENT NUMBER: 144:184000

TITLE: 2-[3-[2-[(2S)-2-Cyano-1-pyrrolidinyl]-2-oxoethylamino]-3-methyl-1-oxobutyl]-1,2,3,4-tetrahydroisoquinoline: A Potent, Selective, and Orally Bioavailable Dipeptide-Derived Inhibitor of Dipeptidyl Peptidase

IV  
 AUTHOR(S): Tsai, Hui; Chen, Xin; Chen, Ching-Tong; Lee, Shiao-Ju;

Chang, Chung-Nien; Kao, Kuo-Hsi; Coudar, Mohane Selvaraj; Yeh, Yen-Ting; Chien, Chia-Hui; Wang, Hsin-Sheng; Lin, Ke-Ta; Chang, Ying-Ying; Wu,

Ssu-Hui; Chen, Yuan-Shou; Lu, I-Lin; Wu, Su-Ying; Tsai, Ting-Yueh; Chen, Wei-Cheng; Hsieh, Hsing-Pang; Chao, Yu-Sheng; Jiaang, Weir-Torn  
 Division of Biotechnology and Pharmaceutical

CORPORATE SOURCE: National Health Research Institutes, Zhunan, Taiwan  
 SOURCE: Journal of Medicinal Chemistry (2006), 49(1), 373-380  
 CODEN: JMCWAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:184000

IT 739366-79-1P 739366-97-3P 739367-07-8P

739367-71-6P 874942-38-8P 874942-39-9P

874942-40-2P 874942-41-3P 874942-42-4P

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 SQ, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RM: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
 AU 2006239929 A1 20061102 AU 2006-239929 20060421  
 US 2006270701 A1 20061130 US 2006-409481 20060421  
 PRIORITY APPLN. INFO.: US 2005-674151P P 20050422

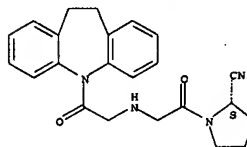
WO 2006-US15200 W 20060421

OTHER SOURCE(S): CASREACT 145:471864, MARPAT 145:471864

IT 913978-13-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of multicyclic peptide deriva. as dipeptidyl peptidase-IV inhibitors)

RN 913978-13-9 HCAPLUS  
 CN 5H-Dibenz[b,f]azepine, 5-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

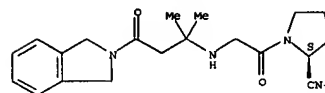
Absolute stereochemistry.



L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of cyanopyrrolidinylcarbonylmethyl-substituted amino acid amides as selective inhibitors of dipeptidyl peptidase IV for potential use as antidiabetic agents)

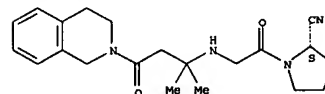
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 CN 1H-Isoindole, 2-[3-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



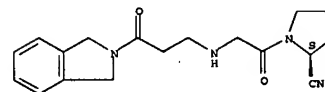
RN 739366-97-3 HCAPLUS  
 CN Isoquinoline, 2-[3-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739367-07-8 HCAPLUS  
 CN 1H-Isoindole, 2-[3-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

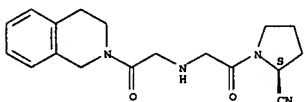
Absolute stereochemistry.



RN 739367-71-6 HCAPLUS  
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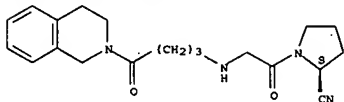
Absolute stereochemistry.

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



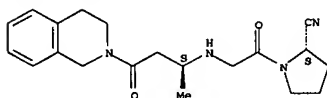
RN 874942-38-8 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874942-39-9 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

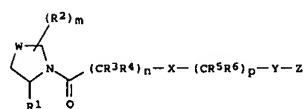
Absolute stereochemistry.



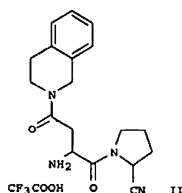
RN 874942-40-2 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-4-methyl-1-oxopentyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN  
ED Entered STN: 22 Sep 2005  
GI



I



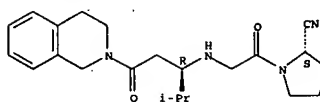
II

AB Title compds. I [R1 = H or CN, R2-6 independently = H, halo, nitro, etc., m = 0-5, n and p independently = 0-4, W = O, S, NR7, etc., R7 = H, halo, alkyl, etc., X = O, S or CR8(NR9R10), R8-10 independently = H, alkyl or aryl, Y = S, SO, CS, etc., Z = NR11R12, R11 and R12 independently = H, alkoxyalkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidyl peptidase IV (DPP-IV). Thus, e.g., II was prepared by DCC coupling of tert-butoxycarbonyl-L-glutamic acid 5-benzyl ester with pyrrolidine-2-carbonitrile hydrochloride followed by deprotection/coupling/deprotection sequence using 1,2,3,4-tetrahydro-isoquinoline in the DCC coupling step. The inhibitory activity of I towards DPP-IV was evaluated using chromogenic enzyme assays and it was found that selected compds. of the invention showed inhibitory activities (no data). I as inhibitors of DPP-IV should prove useful in the treatment of type II diabetes. Pharmaceutical compds. comprising I are disclosed.

ACCESSION NUMBER: 20051021623 HCAPLUS  
DOCUMENT NUMBER: 143326200  
TITLE: Preparation of pyrrolidine derivatives as inhibitors of dipeptidyl peptidase IV (DPP-IV)  
INVENTOR(S): Jiaang, Weir-Tom; Chen, Xin; Wu, Su-Ying; Hsieh, Hsing-Pang; Chao, Yu-Sheng  
PATENT ASSIGNER(S): National Health Research Institutes, Peop. Rep. China  
SOURCE: PCT Int. Appl., 42 pp.

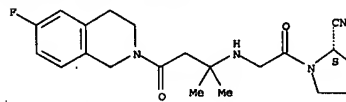
Young, Shawquia, Page 7

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



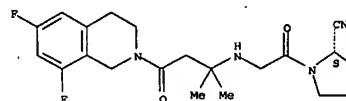
RN 874942-41-3 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-6-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874942-42-4 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-6,8-difluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE       |
|------------------------|--|----------|------------------|------------|
| WO 2005087235          | A1   | 20050922 | WO 2005-097839   | 20050309   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                  |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
| AU 2005221678          | A1   | 20050922 | AU 2005-221678   | 20050309   |
| CA 2559611             | A1   | 20050922 | CA 2005-2559611  | 20050309   |
| EP 1729774             | A1   | 20061213 | EP 2005-725171   | 20050309   |
| R:                     | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |          |                  |            |
| CN 1942186             | A  | 20070404 | CN 2005-80009891 | 20050309   |
| KR 2007038951          | A  | 20070411 | KR 2006-720967   | 20061009   |
| PRIORITY APPLN. INFO.: |  |          | US 2004-551419P  | P 20040309 |
|                        |  |          | US 2004-617684P  | P 20041012 |
|                        |  |          | WO 2005-097839   | W 20050309 |

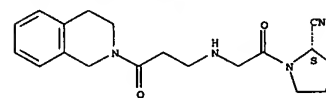
OTHER SOURCE(S): MARPAT 143326200

IT 739367-08-9

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of comparative compound for pyrrolidine derivs. as inhibitors of dipeptidyl peptidase IV)

RN 739367-08-9 HCAPLUS  
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

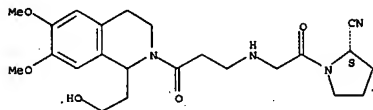


IT 864920-96-7P 864921-10-8P 864921-12-0P

864921-13-1P 864921-14-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

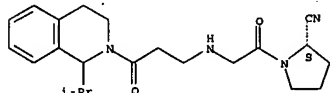
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS ON STN (Continued)  
(Uses)  
prepn. of pyrrolidine derivs. as inhibitors of dipeptidyl peptidase  
IV)  
RN 864920-96-7 HCAPLUS  
CN 1-Isoquinolineethanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



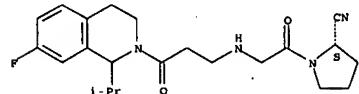
RN 864921-10-8 HCAPLUS  
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



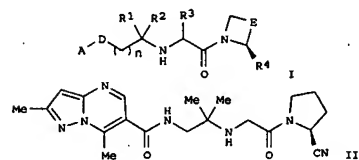
RN 864921-12-0 HCAPLUS  
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-7-fluoro-1,2,3,4-tetrahydro-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 864921-13-1 HCAPLUS  
CN 3-Isoquinolinemethanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS ON STN  
ED Entered STN: 12 Aug 2004  
GI



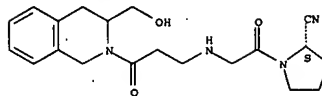
AB The title compds. I [wherein R1 and R2 = independently H, (un)substituted alkyl, CO2H, etc.; R3 = H or (un)substituted aryl; R4 = H or CN; D = CONR6, CO, or NR6CO; R6 = H or (un)substituted alkyl; E = CH2, CH2CH2, CH2CH2CH2, CH2OCH2, or SCH2; n = 0-3; A = (un)substituted bicyclo(hetero)cyclyl] or pharmaceutically acceptable salts thereof are prepared as dipeptidyl peptidase (DPP) IV inhibitors. For example, the compound II-HCl was prepared in a multi-step synthesis. I inhibited DPP IV with IC50 of 0.002 to 0.094 μM.

ACCESSION NUMBER: 2004:648505 HCAPLUS  
DOCUMENT NUMBER: 141:190794  
TITLE: Preparation of arylcarboxamides as dipeptidyl peptidase IV inhibitors  
INVENTOR(S): Kakigami, Takuji; Oka, Mitsuru; Katoh, Noriyasu; Yoshida, Masahiro; Shirai, Masahiro; Murase, Toru; Sakairi, Masao; Yamamoto, Takayo; Takeuchi, Mitsuaki; Hayashi, Yuiji; Takeda, Motohiro; Makino, Mitsuhiro  
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|--|------|----------|------------------|----------|
| WO 2004067509  | A1   | 20040812 | WO 2004-JP886    | 20040130 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, AU 2004207731 | A1   | 20040812 | AU 2004-207731   | 20040130 |
| CA 2514191   | A1   | 20040812 | CA 2004-2514191  | 20040130 |
| EP 1595866   | A1   | 20051116 | EP 2004-706796   | 20040130 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  | A    | 20060308 | CN 2004-80003342 | 20040130 |
| CN 1745063   | A    | 20060308 | CN 2006-541108   | 20060201 |
| US 2005229286  | A1   | 20061012 | JP 2003-23077    | 20030131 |
| PRIORITY APPLN. INFO.:   |      |          |                  |          |

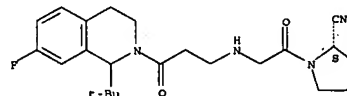
Young, Shawquia, Page 8

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS ON STN (Continued)  
Absolute stereochemistry.



RN 864921-14-2 HCAPLUS  
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1-(1,1-dimethylethyl)-7-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS ON STN (Continued)  
WO 2004-JP886 A 20040130

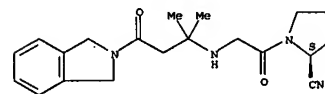
OTHER SOURCE(S): MARPAT 141:190794  
IT 739366-79-1P 739366-80-4P 739366-81-5P  
739366-82-6P 739366-83-7P 739366-84-8P  
739366-85-9P 739366-86-0P 739366-87-1P  
739366-88-2P 739366-89-3P 739366-90-6P  
739366-91-7P 739366-92-8P 739366-93-9P  
739366-94-0P 739366-95-1P 739366-96-2P  
739366-97-3P 739366-98-4P 739366-99-5P  
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739367-09-0P 739367-11-4P 739367-59-0P  
739367-60-3P 739367-61-4P 739367-65-8P  
739367-66-9P 739367-67-0P 739367-71-6P  
739367-72-7P 739367-73-8P 739367-77-2P  
739367-78-3P 739367-79-4P 739368-27-5P  
739368-29-7P

RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)

(drug candidate; preparation of arylcarboxamides as dipeptidyl peptidase IV inhibitors)

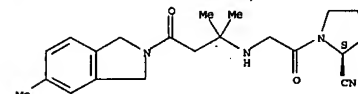
RN 739366-79-1 HCAPLUS  
CN 1H-Isoidole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-80-4 HCAPLUS  
CN 1H-Isoidole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-methyl- (9CI) (CA INDEX NAME)

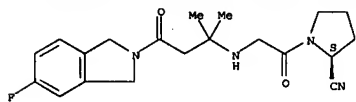
Absolute stereochemistry.



RN 739366-81-5 HCAPLUS  
CN 1H-Isoidole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-5-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

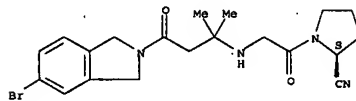
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



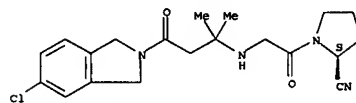
RN 739366-82-6 HCAPLUS  
CN 1H-isoindole, 5-bromo-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



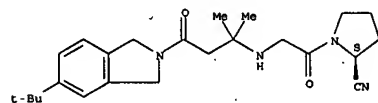
RN 739366-83-7 HCAPLUS  
CN 1H-isoindole, 5-chloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

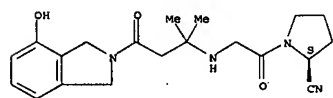


RN 739366-84-8 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-5-(1,1-dimethylethyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

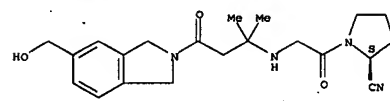


L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



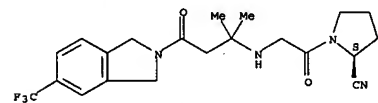
RN 739366-89-3 HCAPLUS  
CN 1H-isoindole-5-methanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



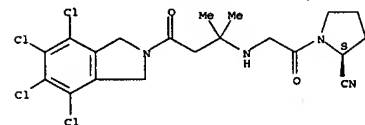
RN 739366-90-6 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-91-7 HCAPLUS  
CN 1H-isoindole, 4,5,6,7-tetrachloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

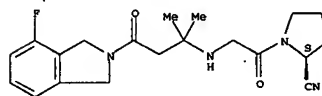
Absolute stereochemistry.



L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

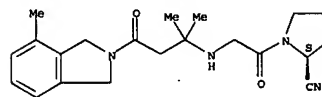
RN 739366-85-9 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-4-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



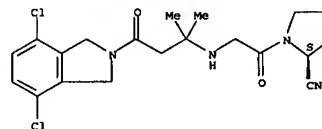
RN 739366-86-0 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-87-1 HCAPLUS  
CN 1H-isoindole, 4,7-dichloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



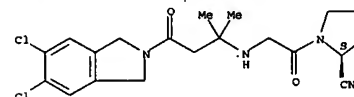
RN 739366-88-2 HCAPLUS  
CN 1H-isoindol-4-ol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

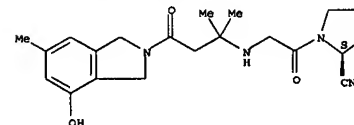
RN 739366-92-8 HCAPLUS  
CN 1H-isoindole, 5,6-dichloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



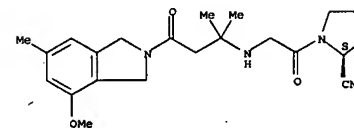
RN 739366-93-9 HCAPLUS  
CN 1H-isoindol-4-ol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-94-0 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methoxy-6-methyl- (9CI) (CA INDEX NAME)

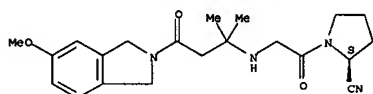
Absolute stereochemistry.



RN 739366-95-1 HCAPLUS  
CN 1H-isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-methoxy- (9CI) (CA INDEX NAME)

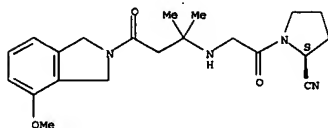
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



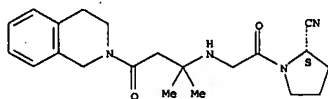
RN 739366-96-2 HCAPLUS  
CN 1H-isoindole, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-97-3 HCAPLUS  
CN Isoquinoline, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

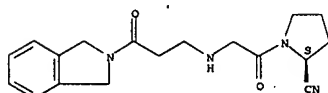
Absolute stereochemistry.



RN 739366-98-4 HCAPLUS  
CN 1H-isoindole, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methyl-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

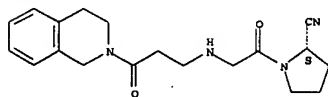
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



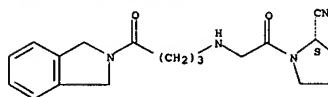
RN 739367-08-9 HCAPLUS  
CN Isoquinoline, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



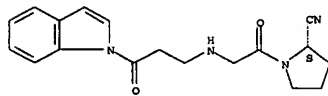
RN 739367-09-0 HCAPLUS  
CN 1H-isoindole, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739367-11-4 HCAPLUS  
CN 1H-indole, 1-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

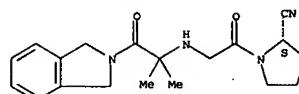
Absolute stereochemistry.



RN 739367-59-0 HCAPLUS  
CN 1H-isoindole, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-2,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

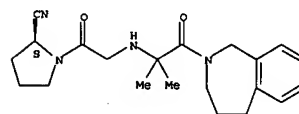
Young, Shawquia, Page 10

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



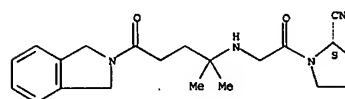
RN 739366-99-5 HCAPLUS  
CN 1H-2-Benzazepine, 2-[[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methyl-1-oxopropyl]-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739367-00-1 HCAPLUS  
CN 1H-isoindole, 2-[[4-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-4-methyl-1-oxopentyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

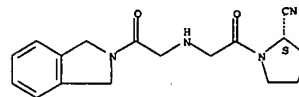


RN 739367-07-8 HCAPLUS  
CN 1H-isoindole, 2-[[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

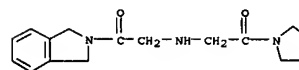
L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.

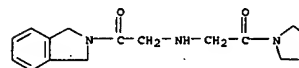


● HCl

RN 739367-60-3 HCAPLUS  
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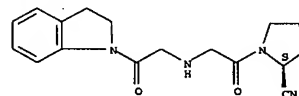


RN 739367-61-4 HCAPLUS  
CN 1H-isoindole, 2,3-dihydro-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



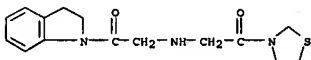
RN 739367-65-8 HCAPLUS  
CN 1H-indole, 1-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

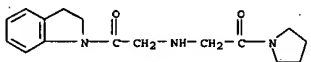


RN 739367-66-9 HCAPLUS  
CN 1H-Indole, 2,3-dihydro-1-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

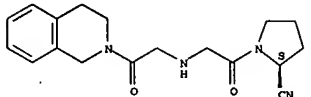


RN 739367-67-0 HCAPLUS  
CN 1H-Indole, 2,3-dihydro-1-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

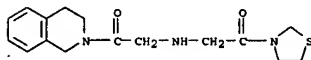


RN 739367-71-6 HCAPLUS  
CN Isoquinoline, 2-[[[2-(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

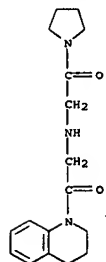


RN 739367-72-7 HCAPLUS  
CN Isoquinoline, 1,2,3,4-tetrahydro-2-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



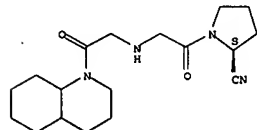
RN 739367-73-8 HCAPLUS  
CN Isoquinoline, 1,2,3,4-tetrahydro-2-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



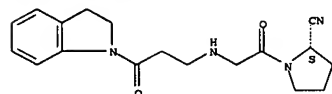
RN 739369-27-5 HCAPLUS  
CN Quinoline, 1-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]decahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

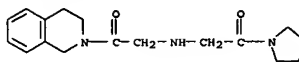


RN 739369-29-7 HCAPLUS  
CN 1H-Indole, 1-[3-[[[2-(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

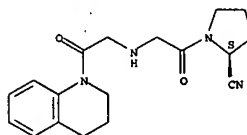


L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

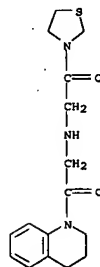


RN 739367-77-2 HCAPLUS  
CN Quinoline, 1-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739367-78-3 HCAPLUS  
CN Quinoline, 1,2,3,4-tetrahydro-1-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



RN 739367-79-4 HCAPLUS  
CN Quinoline, 1,2,3,4-tetrahydro-1-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

AB A series of new spiroglumide amido acid derivs. was synthesized and evaluated for their ability to inhibit the binding of cholecystokinin (CCK) to guinea pig brain cortex (CCKB receptors) and peripheral rat pancreatic acini (CCKA receptors), as well as to inhibit in vitro the gastrin-induced  $Ca^{2+}$  increase in rabbit gastric parietal cells. Appropriate chemical manipulations of the structure of spiroglumide (CR 2194), i.e.,

(R)-4-[(3,5-dichlorobenzamido)-5-(8-azaspiro[4.5]decan-8-yl)-5-oxopentanoic acid, led to potent and selective antagonists of CCKB/gastrin receptors. Structure-activity relationships are discussed. Some of these new derivs., as, for example, compound 54 (CR 2622), i.e.,

(S)-4-[(R)-4'-[(3,5-dichlorobenzoyl)amino]-5'-(8-azaspiro[4.5]decan-8-yl)-5'-oxo-pentanoyl]amino]-5-(1-naphthylamino)-5-oxopentanoic acid, exhibit activity 70-170 times greater than that of spiroglumide, depending upon the model used ( $IC_{50} = 2 \cdot 10^{-8}$  vs.  $140 \cdot 10^{-8}$  mol in binding inhibition of  $[3H]-N-Me-N-Le-UCC-8$  in guinea pig brain cortex and  $IC_{50} = 0.7 \cdot 10^{-8}$  vs.  $122 \cdot 10^{-8}$  mol in inhibition of gastrin-induced  $Ca^{2+}$  mobilization in parietal cells of rabbit, resp.). Computer-assisted conformational anal. studies were carried out to compare the chemical structure of both the agonist (pentagastrin) and the antagonist (54).

ACCESSION NUMBER: 1995:982948 HCAPLUS  
DOCUMENT NUMBER: 124:21030  
TITLE: Structure-Antigastrin Activity Relationships of New Spiroglumide Amido Acid Derivatives  
AUTHOR(S): Makovec, Francesco; Peris, Walter; Frigerio, Sandra; Giovanetti, Roberto; Letari, Ornella; Mennuni, Laura; Revel, Laura  
CORPORATE SOURCE: Rotta Research Laboratories, Milan, 20052, Italy  
SOURCE: Journal of Medicinal Chemistry (1996), 39(1), 135-42  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 124:21030  
IT 171202-85-0P

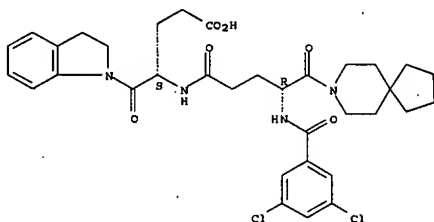
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relationships of new spiroglumide amido acid derivs. as antagonists of CCK/gastrin receptors)

RN 171202-85-0 HCAPLUS  
CN 1H-Indole-1-pentanoic acid, gamma-[[[5-(8-azaspiro[4.5]decan-8-yl)-4-[(3,5-dichlorobenzoyl)amino]-1,5-dioxopentyl]amino]-2,3-dihydro-6-oxo-, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 13 May 1984

AB RX21CR1(YR2)NR3CHR4CONR5CR6R7YR8 [R = aryl, heterocyclic group, Z = bond; R = aryl, heterocyclic group, H, halo, OH, NH2, guanidino, SH, CO2H,

CONH2, or their substituted deriva., Z = C1-15 alkylene, C2-15 alkenylene,

C3-15 cycloalkylene, C3-15 cycloalkenylene; X = CO, CH(OH), or their substituted deriva.; Z1 = alkylene, alkenylene, alkylidene; R1 = H, alkyl,

aralkyl, YR2, Y, Y1 = CO, CH2, R2, R8 = OH, NH2, or their substituted deriva.; R3 = H, alkyl, carbonyl-containing group; R4 = H, (un)substituted

alkyl; R5 = H, alkyl, aralkyl; R6 = H, aryl, heterocyclic group, alkyl, aralkyl, hydroxyalkyl, heterocyclic-substituted alkyl; R5R6 = C2-5 alkylene or alkenylene or their oxa, thia, or aza deriva. or their OH- or oxo-substituted deriva.; R7 = H, alkyl, YR8; R6R7 = C2-5 alkylene) were prepared as antihypertensives due to their ability to inhibit angiotensin-converting enzyme (no data). Thus, H-Ala-Pro-OCMe3 was treated with trans-PhCOCH:CHCO2CMe3 in CH2Cl2 for 18 h to give, PhCOCH2CH(CO2CMe3)-Ala-Pro-OCMe3, which was deblocked by CF3CO2H to give PhCOCH2CH(CO2H)-Ala-Pro-OH-CF3CO2H.

ACCESSION NUMBER: 1984:23015 HCAPLUS

DOCUMENT NUMBER: 100:23015

TITLE: Amide derivatives

INVENTOR(S): Preston, John; Carling, William Robert

PATENT ASSIGNER(S): Imperial Chemical Industries PLC, UK

SOURCE: Eur. Pat. Appl., 92 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| EP 84941                                      | A1   | 19830803 | EP 1983-300169  | 19830113   |
| EP 84941                                      | B1   | 19870311 |                 |            |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |            |
| AU 8310341                                    | A    | 19830728 | AU 1983-10341   | 19830113   |
| AU 563149                                     | B2   | 19870702 |                 |            |
| AT 25850                                      | T    | 19870315 | AT 1983-300169  | 19830113   |
| ZA 8300273                                    | A    | 19831026 | ZA 1983-273     | 19830114   |
| HU 27395                                      | A2   | 19831028 | HU 1983-163     | 19830119   |
| HU 189637                                     | B    | 19860728 |                 |            |
| US 4528282                                    | A    | 19850709 | US 1983-459143  | 19830119   |
| FI 8300186                                    | A    | 19830723 | FI 1983-186     | 19830120   |
| DK 8300238                                    | A    | 19830723 | DK 1983-238     | 19830121   |
| NO 8300203                                    | A    | 19830725 | NO 1983-203     | 19830121   |
| JP 58134075                                   | A    | 19830810 | JP 1983-7516    | 19830121   |
| ES 525684                                     | A1   | 19850701 | ES 1983-525684  | 19830916   |
| ES 525685                                     | A1   | 19850701 | ES 1983-525685  | 19830916   |
| PRIORITY APPLN. INFO.:                        |      |          |                 | A 19820122 |
|   |      |          | EP 1983-300169  | A 19830113 |

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 100:23015

IT 88098-19-5P

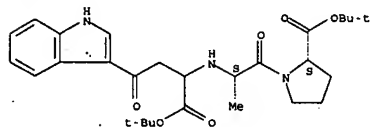
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deblocking of)

RN 88098-19-5 HCAPLUS

CN L-Proline, 1-[N-[(1,1-dimethylethoxy)carbonyl]-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 88098-20-8P 88098-21-9P 88098-54-8P

88098-75-3P 88098-84-4P 88122-41-2P

88196-62-7P

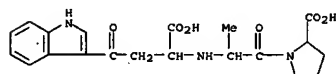
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 88098-20-8 HCAPLUS

CN L-Proline, 1-[N-[(1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, (9CI)

(CA INDEX NAME)



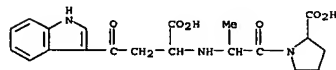
RN 88098-21-9 HCAPLUS

CN L-Proline, 1-[N-[(1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 88098-20-8

CMP C20 H23 N3 O6



CM 2

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 76-05-1

CMP C2 H F3 O2



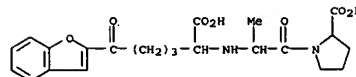
RN 88098-54-8 HCAPLUS

CN L-Proline, 1-[N-[(5-(2-benzofuranyl)-1-carboxy-5-oxopentyl]-L-alanyl]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 88098-53-7

CMP C22 H26 N2 O7



CM 2

CRN 76-05-1

CMP C2 H F3 O2



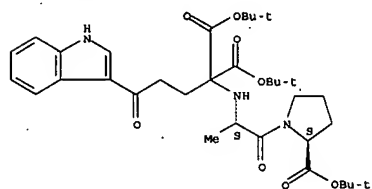
RN 88098-75-3 HCAPLUS

CN L-Proline,

1-[N-[1,1-bis[(1,1-dimethylethoxy)carbonyl]-4-(1H-indol-3-yl)-4-oxobutyl]-L-alanyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

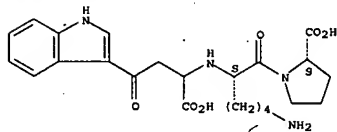
Absolute stereochemistry.

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



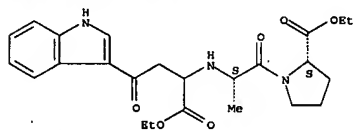
RN 88098-84-4 HCAPLUS  
 CN L-Proline, 1-[N2-[1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-lysyl]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 88122-41-2 HCAPLUS  
 CN L-Proline, 1-[N-[1-(ethoxycarbonyl)-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

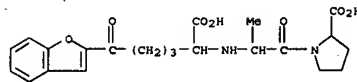


RN 88196-62-7 HCAPLUS  
 CN L-Proline, 1-[N-[5-(2-benzofuranyl)-1-carboxy-5-oxopentyl]-L-alanyl]-, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 88196-61-6  
 CMP C22 H26 N2 O7



CM 2

CRN 76-05-1  
 CMP C2 H F3 O2

